

## New 5-membered heterocyclic compounds

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### Abstract

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Heterocyclic compounds (I) comprising 5 units, X1-X5, linked to form a ring, and their tautomers, stereoisomers and salts, are new. One of X1-X5 is Z-(BA); another of X1-X5 = Z-(D-E-F'-COORb); a third = S, -NH-, -N(R4)-, -C(R7)-, -C(R7)2= or N; a fourth is O, S, N or -C(R7)=; and a fifth is N, -C(R7)- or -C(R7)2=; or two adjacent groups X1-X5 form an o-phenylene group; Z = -N-, -CH- or -C=; A = A', pyridyl or quinuclidinyl; A' = 5-7C cycloalkyl (optionally substituted by 1-4 alkyl) in which one unsubstituted methylene group is replaced by N(Ra) group, (optionally substituted by CN, CONH2, COOH, alkoxy carbonyl or phenylalkoxycarbonyl and also, when the substitution is not in the "u-position" to an N atom, by OH, alkoxy or phenylalkoxy); the resulting azacycloalkyl may have a CH unit in the 4 position replaced by N and the resulting 5- to 7-membered azacycloalkyl group may have a CH2-CH unit replaced by CH=C, and the resulting piperazinyl or homopiperazinyl may have one or both CH2 adjacent to the N atom in the 4 position replaced by carbonyl; B = 1-8C alkylene, 2-3C alkenylene, O(CH2)n, (CH2)nO, S(CH2)n, (CH2)nS, CO-N(R3), N(R3)-CO, N(R3)-(CH2)n or (CH2)n-N(R3), provided that an O, S or N atom of B is not directly bonded to an N atom of A or the 5-membered heterocycle; Ra = H, alkyl, phenylalkyl, 2-6C alkoxy carbonyl, phenylalkoxycarbonyl, 4-6C alkenyloxycarbonyl, 6-8C cycloalkoxycarbonyl or COOCH(R2)OCOR1; R1 = 1-5C alkyl, 5-7C cycloalkyl, phenylalkyl, 1-5C alkoxy, 5-7C cycloalkoxy or phenyl; R2 = H, 1-4C alkyl, 5-7C cycloalkyl or phenyl; n = 1 or 2; R3 = H, alkyl, phenylalkyl or pyridylalkyl; D = CO, W-CO, CO-W, CO-NR3, NR3-CO, SO2-NR3, NR3-SO2, W-CO-NR3, W1-NR3-CO, W1-SO2-NR3, W1-NR3-SO2, CO-NR3-W1, NR3-CO-W1, SO2-NR3-W1, NR3-SO2-W1, CO-(CH2)n-O or CO-(CH2)n-NR3, provided that these groups are not bonded through a CO or SO2 group to an N atom of the 5-membered heterocycle; W1 = 1-3C alkylene; W = 1-3C alkylene or 2-3C alkenylene; F' = 1-5C alkylene or 2-5C alkenylene (both optionally substituted by phenylalkyl, phenyl, pyridyl, OR3, SR3, N(R3)(R3), COOR3, NR3COR4, NR3COOR5, NR3SO2R4 or NR3CONR3R3), bond or Y-W1; E = divalent pyridine, pyrimidine, pyrazine, pyridazine or triazine (optionally C-substituted by Cl, alkyl or alkoxy, optionally with one or two CH=N replaced by CO-NR3 and optionally with an N bonded to F' instead of to R3 when F' is not a direct bond), phenylene (optionally substituted by 1-2 F, Cl, Br, alkyl, CF3, OR3 and OCH2COOR3), 4-5C cycloalkylene (optionally substituted by alkyl, phenylalkyl or phenyl, and optionally with a CH replaced by N and a CH2 adjacent to N replaced by CO) or 6-7C cycloalkylene (optionally substituted by an alkyl, phenylalkyl or phenyl group and in which one or two CH units may be replaced by N and a CH2 group adjacent to N replaced by CO); R4 = 1-5C alkyl, phenylalkyl, phenyl or pyridyl; R5 = 1-5C alkyl or phenylalkyl; Y = O, CO, S, SO, SO2, NR3, N(COR4), N

(SO<sub>2</sub>R<sub>4</sub>), CO-NR<sub>3</sub> or NR<sub>3</sub>-CO provided that a heteroatom of E is not bonded to an N or S of Y; R<sub>b</sub> = 1-5C alkyl, 3-5C alkenyl, phenylalkyl, 5-7C cycloalkyl, (5-7C cycloalkyl)alkyl or CH(R<sub>2</sub>)OCOR<sub>1</sub>, or also H if COOR<sub>b</sub> is not bonded directly to an N atom of E; the distance between COOR<sub>b</sub> and the remotest N of A comprises at least 11 bonds and that the -B-A and -D-E-F'-COOR<sub>b</sub> groups are in the 1,3 position to each other; R<sub>7</sub> = H, alkyl, phenylalkyl or phenyl; and alkyl, alkylene and alkoxy groups contain 1-3 C atoms unless otherwise stated.

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⑯ 5-gliedrige Heterocyclen, diese Verbindungen enthaltende Arzneimittel und deren Verwendung sowie  
Verfahren zu ihrer Herstellung

⑯ Die vorliegende Erfindung betrifft 5gliedrige Heterocyclen  
der allgemeinen Formel



In der  
X<sub>1</sub> bis X<sub>5</sub> wie Anspruch 1 definiert sind, deren Tautomere,  
deren Stereoisomere, einschließlich ihrer Gemische, und  
deren Salze, insbesondere deren Salze mit physiologisch  
verträglichen Säuren oder Basen, welche wertvolle pharma-  
kologische Eigenschaften aufweisen, vorzugsweise aggrega-  
tionshemmende Wirkungen, diese Verbindungen enthalten-  
de Arzneimittel und deren Verwendung sowie Verfahren zu  
ihrer Herstellung.

DE 195 48 798 A 1

DE 195 48 798 A 1

Die folgenden Angaben sind den vom Anmelder eingereichten Unterlagen entnommen

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